STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor
571-272-2507 Remsen E01 D86

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

  Types of relevant prior art found:
  - ☐ Foreign Patent(s)
  - ☐ Non-Patent Literature
    (journal articles, conference proceedings, new product announcements etc.)
- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library Remsen Bldg.

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 113664

TO:	From:
TO: David Lukton Location: REM/3B75/3C70 Art Unit: 1653 Monday, February 09, 2004 Case Serial Number: 09/870027	From: Noble Jarrell Location: Biotech-Chem Library Rem 1B71 Phone: 272-2556 Noble.jarrell@uspto.gov

Search Notes

```
=> b reg
FILE 'REGISTRY' ENTERED AT 11:25:14 ON 09 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    6 FEB 2004  HIGHEST RN 647375-42-6
DICTIONARY FILE UPDATES:   6 FEB 2004  HIGHEST RN 647375-42-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que stat l8
L6                STR
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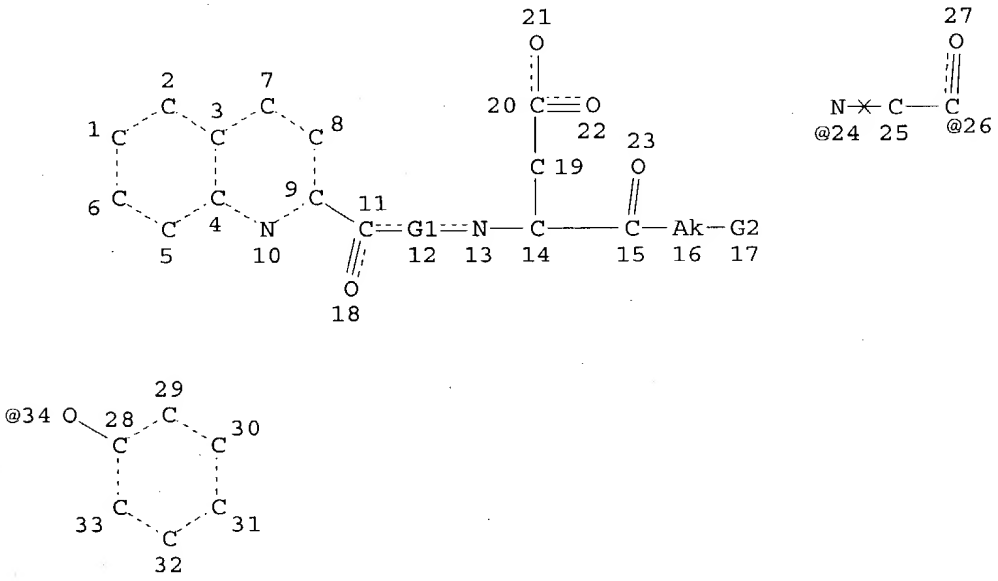


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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  34

STEREO ATTRIBUTES: NONE
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L8 20 SEA FILE=REGISTRY SSS FUL L6

100.0% PROCESSED 940 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

=> b cap; d que nos l9
FILE 'CAPLUS' ENTERED AT 11:25:34 ON 09 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 9 Feb 2004 VOL 140 ISS 7
FILE LAST UPDATED: 8 Feb 2004 (20040208/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L6 STR
L8 20 SEA FILE=REGISTRY SSS FUL L6
L9 2 SEA FILE=CAPLUS ABB=ON PLU=ON L8

=> b caold;d que nos l10
FILE 'CAOLD' ENTERED AT 11:25:47 ON 09 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGlstRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L6 STR

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L10             0 SEA FILE=CAOLD ABB=ON  PLU=ON  L8


=> b uspatall;d que nos l11
FILE 'USPATFULL' ENTERED AT 11:25:56 ON 09 FEB 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 11:25:56 ON 09 FEB 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)


L6               STR
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L11             1 SEA L8


=> b beilstein;d que stat l12
FILE 'BEILSTEIN' ENTERED AT 11:26:14 ON 09 FEB 2004
COPYRIGHT (c) 2004 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften
licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE RELOADED ON OCTOBER 20, 2002
FILE LAST UPDATED ON DECEMBER 15, 2003

FILE COVERS 1771 TO 2003.
*** FILE CONTAINS  8,861,754 SUBSTANCES ***

>>> PLEASE NOTE: Reaction data and substance data are stored in
    separate documents and can not be searched together in one
    query.
    Reaction data for BEILSTEIN compounds may be displayed
    immediately with the display codes PRE (preparations) and REA
    (reactions). A substance answer set retrieved after the search
    for a chemical name, a molecular formula or a structure search
    for example can be restricted to compounds with available
    reaction information by concatenation with PRE/FA, REA/FA or
    more general with RX/FA. The BEILSTEIN Registry Number (BRN)
    is the link between a BEILSTEIN compound and belonging reactions.
    For more detailed reaction searches BRNs can be selected from
    substance answer sets and searched in the next step as reaction
    partner BRNs - Reactant (RX.RBRN) or Product BRN (RX.PBRN).
    After a search for reaction details substance documents
    associated with reactants or products may be retrieved by
    searching RX.PBRNs or RX.RBRNs as BRNs. <<<


>>> FOR SEARCHING PREPARATIONS SEE HELP PRE  <<<

*********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.               *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE  *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE         *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                       *
* FOR PRICE INFORMATION SEE HELP COST                               *
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L6               STR
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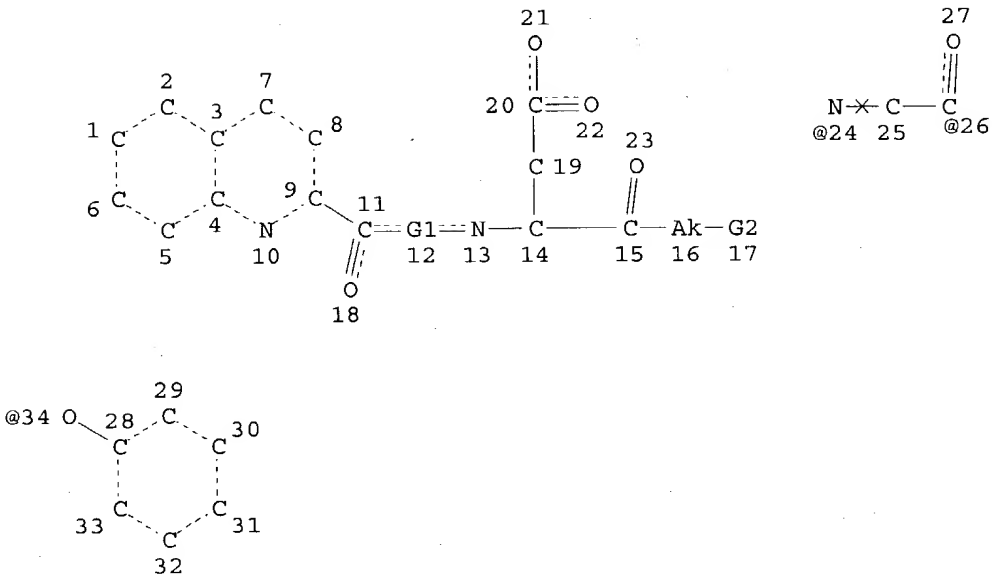

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE
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SEARCH TIME: 00.00.08

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 06)(20040206 ED)

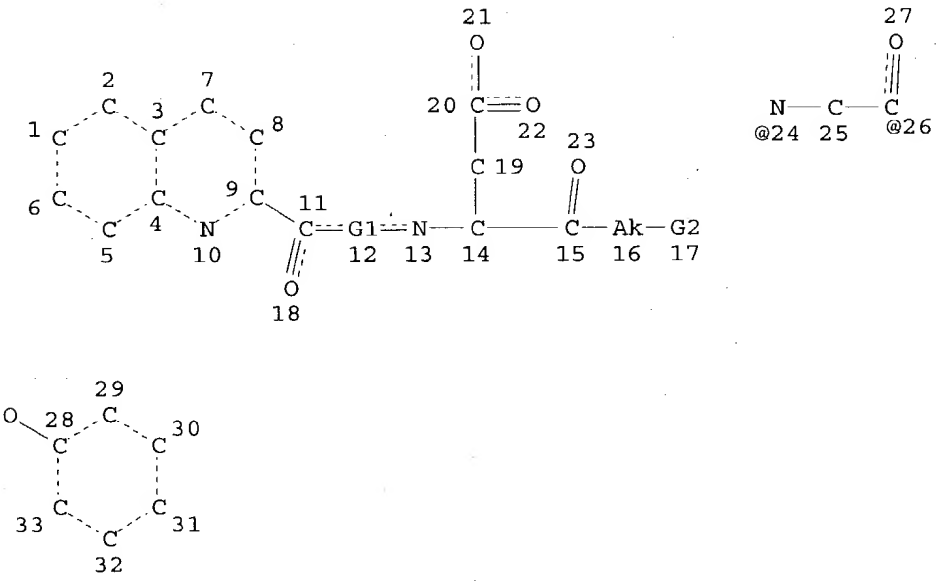
MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6673954 06 JAN 2004
DE 10317295 08 JAN 2004
EP 1380632 14 JAN 2004
JP 2004014584 15 JAN 2004
WO 2004004674 15 JAN 2004

Structure search limits have been raised. See HELP SLIMIT for the new,

higher limits.

L13 STR



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MLEVEL IS CLASS AT 16
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE
L15 3 SEA FILE=MARPAT SSS FUL L13

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SEARCH TIME: 00.00.22

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L12 HAS NO ANSWERS
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ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'CAPLUS' ENTERED AT 11:26:46 ON 09 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE 'USPATFULL' ENTERED AT 11:26:46 ON 09 FEB 2004
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FILE 'MARPAT' ENTERED AT 11:26:46 ON 09 FEB 2004

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COPYRIGHT (C) 2004 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L9
PROCESSING COMPLETED FOR L10
PROCESSING COMPLETED FOR L11
PROCESSING COMPLETED FOR L12
PROCESSING COMPLETED FOR L15
L16             3 DUP REM L9 L10 L11 L12 L15 (3 DUPLICATES REMOVED)
                  ANSWERS '1-2' FROM FILE CAPLUS
                  ANSWER '3' FROM FILE MARPAT


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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS, MARPAT' - CONTINUE? (Y)/N:y



L16  ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2004 ACS on STN  DUPLICATE 1
AN   2002:171859  CAPLUS
DN   136:217050
ED   Entered STN:  08 Mar 2002
TI   Preparation of quinolinecarbonyl(multiple amino acids)-leaving group
     compounds for pharmaceutical compositions and reagents
IN   Wang, Jinhai
PA   Enzyme Systems Products, Inc., USA
SO   PCT Int. Appl., 93 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  C07D215-00
CC   34-3 (Amino Acids, Peptides, and Proteins)
     Section cross-reference(s): 1, 7, 63
FAN.CNT 2
     PATENT NO.         KIND  DATE           APPLICATION NO.  DATE
     ---------------    ----  --------       ---------------  --------
PI   WO 2002018341      A2    20020307       WO 2001-US26467  20010824
     WO 2002018341      A3    20020919
     WO 2002018341      C2    20021121
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002052323      A1    20020502       US 2001-870027   20010529
     AU 2001088381      A5    20020313       AU 2001-88381    20010824
     EP 1322616         A2    20030702       EP 2001-968107   20010824
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRAI US 2000-229257P    P     20000830
     US 2001-870027     A2    20010529
     WO 2001-US26467    W     20010824
OS   MARPAT 136:217050
GI
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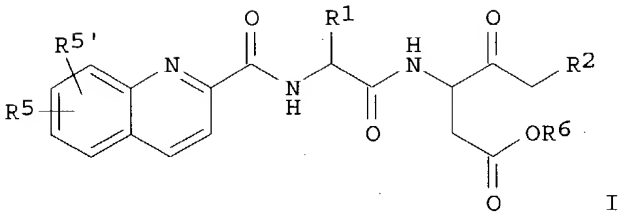


I

AB Quinolinecarbonyl peptide derivs. I [R1 = (un)substituted alkyl or aryl and is a side chain of a natural or unnatural amino acid (D- or L-configuration); R2 = F or phenoxy which may have substituents as defined for R5 and R5' (H, alkyl, alkoxy, fluoro, chloro, carboxy, alkyl- or arylcarbonyl, amino); R6 = alkyl, (un)substituted aryl, OC6H3(OH)[(CH2)nNH2]-2,4 (n = 1-4; the amino may protected or form a pharmaceutically-acceptable salt), or a 5-alkyl-, 5-aryl- or 5-alkylaryltetronic acid residue] were prepared These compds. are reagents and pharmaceutical compns. have pro-drug and apoptosis properties and are useful in a variety of therapies. 2-Quinolinecarbonyl-L-Val-L-Ala-L-Asp(OMe)CH2OC6H4F2-2,6 is among the compds. claimed. Figures which illustrate the inhibitory effect of the novel compds. on various caspases are given.

ST quinolinecarbonyl peptide prepn inhibitor caspase

IT Nervous system, disease
(Huntington's chorea; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Nervous system, disease
(amyotrophic lateral sclerosis; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Nervous system, disease
(degeneration; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Allergy
(hypersensitivity; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Heart, disease
(infarction; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Liver, disease
Reperfusion
(injury; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Kidney, disease
(ischemic; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Pancreas, disease
(pancreatitis; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT AIDS (disease)
Alopecia
Alzheimer's disease
Anti-infective agents
Anti-inflammatory agents
Antiarthritics
Autoimmune disease
Bone, disease
Encephalitis

Hepatitis
Ischemia
Meningitis
Multiple sclerosis
Parkinson's disease
Respiratory tract, disease
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Drug delivery systems
(prodrugs; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Oviduct
(salpingitis; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Shock (circulatory collapse)
(septic; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Brain, disease
(stroke; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Liver, disease
(toxin-induced; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Brain, disease
(trauma; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 122191-40-6, Caspase 1 169592-56-7, Caspase 3 179241-78-2, Caspase 8 180189-96-2, Caspase 9
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 402592-72-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 402592-45-4P **402592-46-5P 402592-47-6P**
402592-48-7P 402592-53-4P 402592-55-6P
402592-56-7P 402592-58-9P 402592-60-3P **402592-70-5P**
402592-71-6P 402592-73-8P 402592-74-9P
402592-80-7P 402592-81-8P 402592-82-9P 402592-84-1P 402592-86-3P
402592-87-4P 402592-88-5P 402592-89-6P 402592-91-0P
402592-92-1P 402593-80-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 161401-82-7 **402592-44-3 402592-46-5** 402592-93-2
402592-94-3 **402592-97-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group

compds. for pharmaceutical compns. and reagents)

IT 51-61-6, Dopamine, reactions 89-57-6 93-10-7, Quinaldic acid 608-07-1, 5-Methoxytryptamine 4423-79-4, 1,4-Dioxaspiro[4.5]decan-2-one 7477-44-3 13518-40-6 23786-14-3, Methyl 4-methoxyphenylacetate 28177-48-2, 2,6-Difluorophenol 34837-84-8, Methyl 4-fluorophenylacetate 37034-31-4 59768-74-0 100483-42-9 110680-30-3 135325-18-7 138550-45-5 183440-60-0 187389-52-2 187389-53-3 402592-64-7 402592-75-0 402592-77-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 23408-05-1P 135321-95-8P 149267-81-2P 247045-71-2P 247045-72-3P 402592-42-1P **402592-49-8P** 402592-50-1P 402592-52-3P 402592-59-0P 402592-65-8P 402592-67-0P 402592-68-1P **402592-78-3P** 402592-79-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

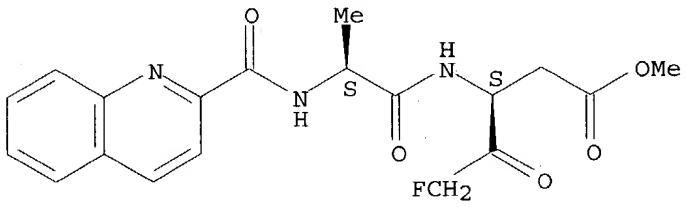
IT **402592-44-3P** 402592-57-8P 402592-62-5P 402592-63-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT **402592-98-7** 402592-99-8 **402593-01-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT **402592-46-5P 402592-47-6P 402592-48-7P 402592-53-4P 402592-55-6P 402592-56-7P 402592-70-5P 402592-71-6P 402592-73-8P 402592-74-9P 402592-87-4P 402592-88-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

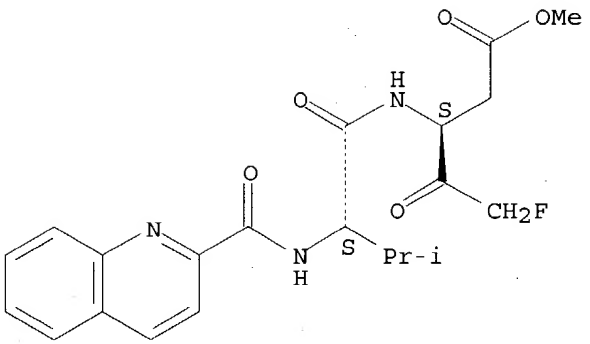
RN 402592-46-5 CAPLUS
CN Pentanoic acid, 5-fluoro-4-oxo-3-[[(2S)-1-oxo-2-[(2-quinolinylcarbonyl)amino]propyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



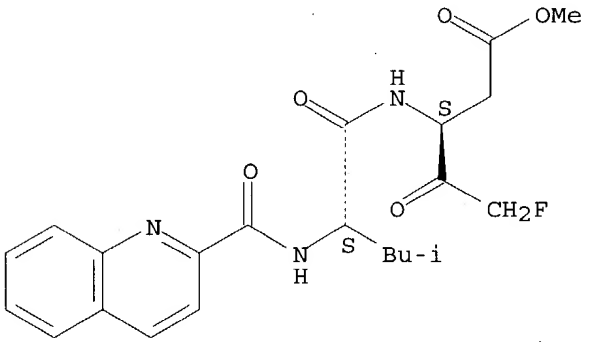
RN 402592-47-6 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



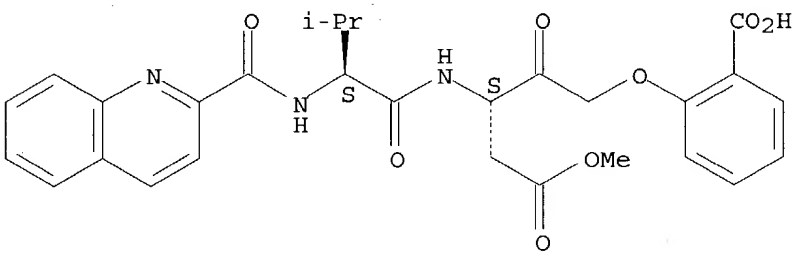
RN 402592-48-7 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-4-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]pentyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 402592-53-4 CAPLUS
CN Benzoic acid, 2-[(3S)-3-(2-methoxy-2-oxoethyl)-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2-oxopropoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

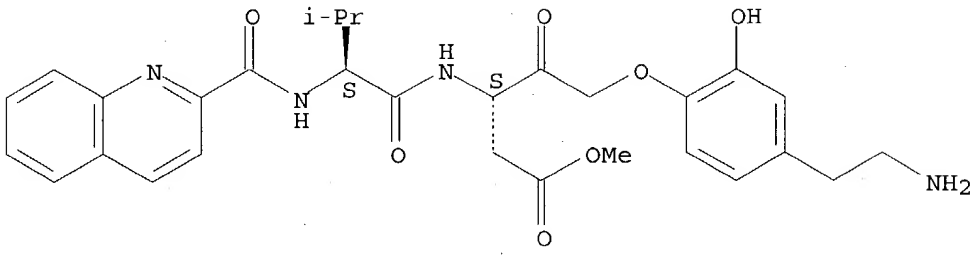


RN 402592-55-6 CAPLUS
CN Pentanoic acid, 5-[4-(2-aminoethyl)-2-hydroxyphenoxy]-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

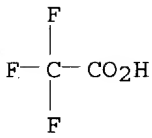
CRN 402592-54-5
CMF C29 H34 N4 O7

Absolute stereochemistry.



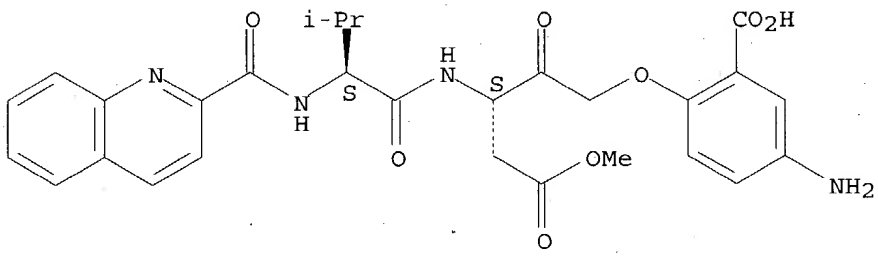
CM 2

CRN 76-05-1
CMF C2 H F3 O2



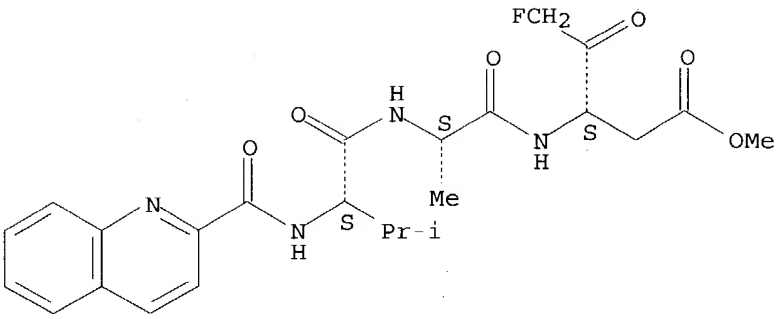
RN 402592-56-7 CAPLUS
CN Benzoic acid, 5-amino-2-[(3S)-3-(2-methoxy-2-oxoethyl)-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2-oxopropoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



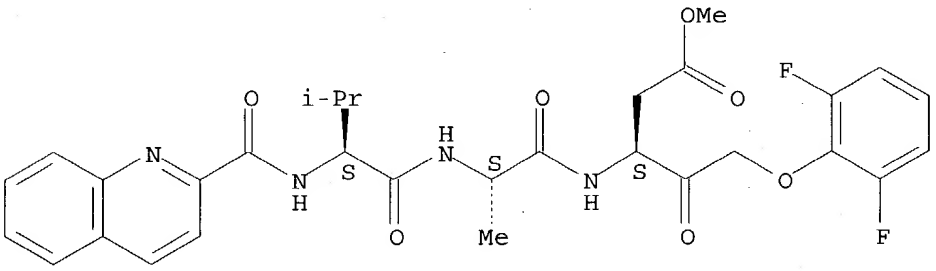
RN 402592-70-5 CAPLUS
CN L-Alaninamide, N-(2-quinolinylcarbonyl)-L-valyl-N-[(1S)-3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 402592-71-6 CAPLUS
CN L-Alaninamide, N-(2-quinolinylcarbonyl)-L-valyl-N-[(1S)-3-(2,6-difluorophenoxy)-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)

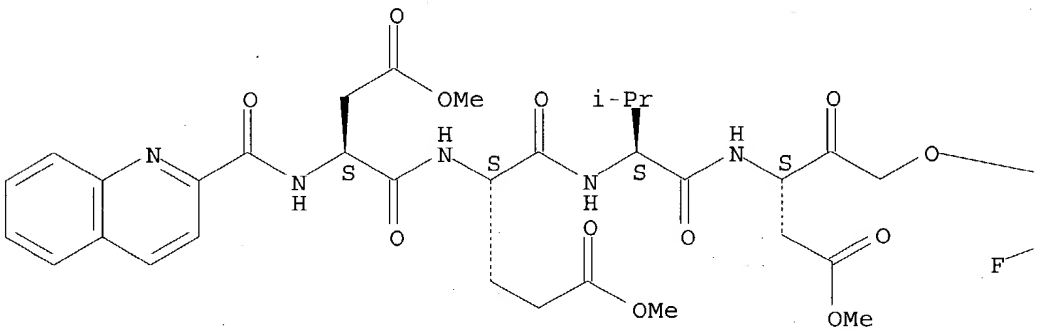
Absolute stereochemistry.

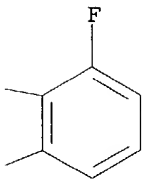


RN 402592-73-8 CAPLUS
CN L-Valinamide, N-(2-quinolinylcarbonyl)-L-α-aspartyl-L-α-glutamyl-N-[(1S)-3-(2,6-difluorophenoxy)-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

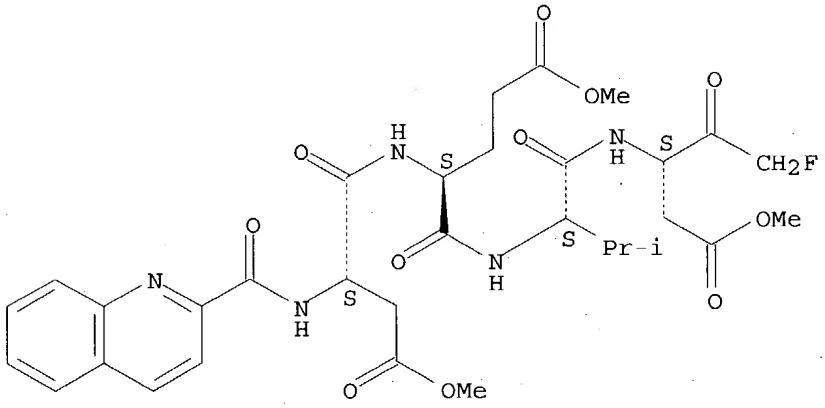
PAGE 1-A





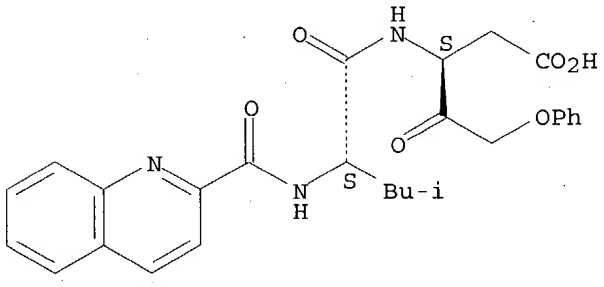
RN 402592-74-9 CAPLUS
CN L-Valinamide, N-(2-quinolinylcarbonyl)-L-α-aspartyl-L-α-glutamyl-N-[(1S)-3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 402592-87-4 CAPLUS
CN Pentanoic acid, 3-[[(2S)-4-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]pentyl]amino]-4-oxo-5-phenoxy-, (3S)- (9CI) (CA INDEX NAME)

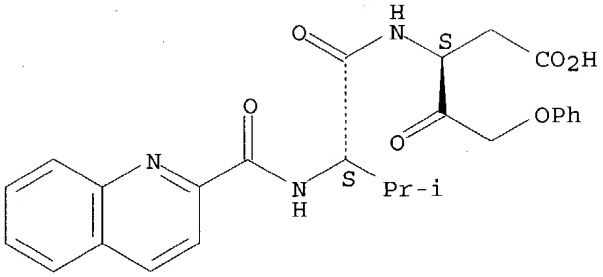
Absolute stereochemistry.



RN 402592-88-5 CAPLUS
CN Pentanoic acid, 3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-5-phenoxy-, (3S)- (9CI) (CA

INDEX NAME)

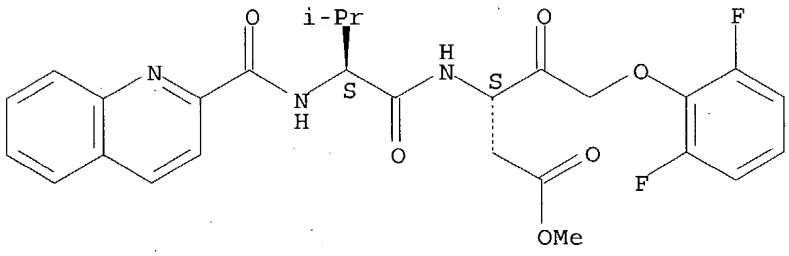
Absolute stereochemistry.



IT **402592-44-3 402592-46-5 402592-97-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

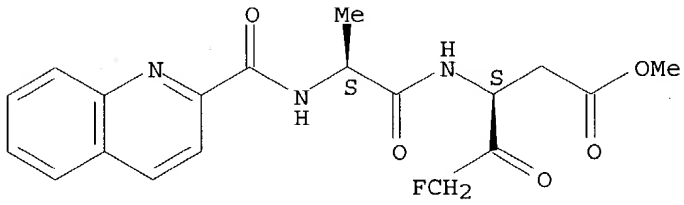
RN 402592-44-3 CAPLUS
CN Pentanoic acid, 5-(2,6-difluorophenoxy)-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



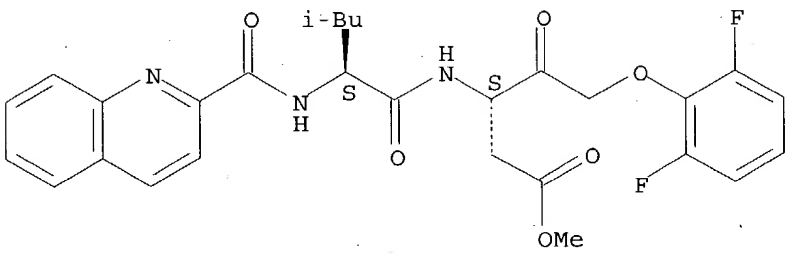
RN 402592-46-5 CAPLUS
CN Pentanoic acid, 5-fluoro-4-oxo-3-[[(2S)-1-oxo-2-[(2-quinolinylcarbonyl)amino]propyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 402592-97-6 CAPLUS
CN Pentanoic acid, 5-(2,6-difluorophenoxy)-3-[[(2S)-4-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]pentyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

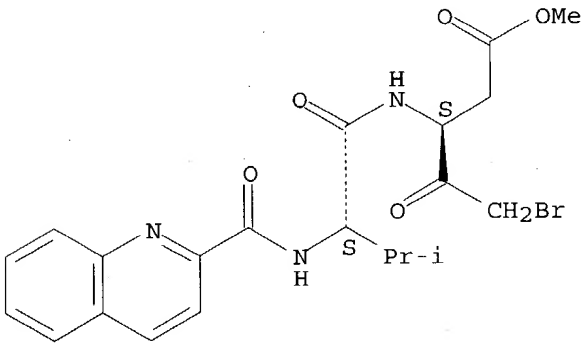


IT **402592-49-8P 402592-78-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

RN 402592-49-8 CAPLUS

CN Pentanoic acid, 5-bromo-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 402592-78-3 CAPLUS

CN Pentanoic acid, 5-[4-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-2-hydroxyphenoxy]-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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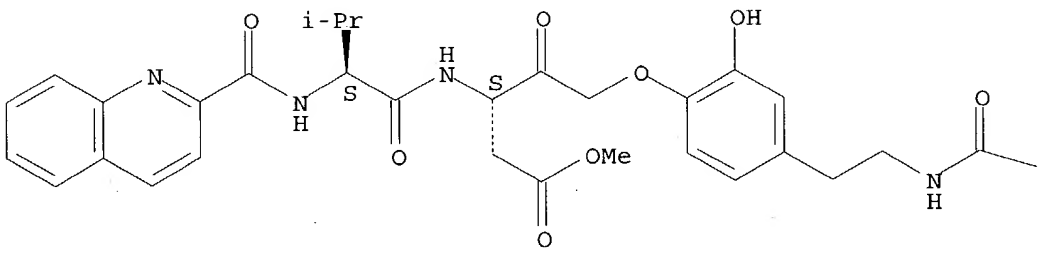
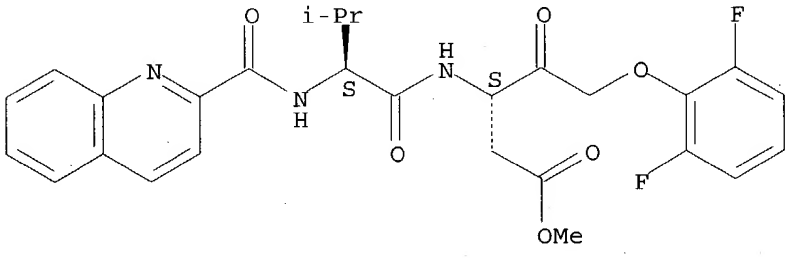


OBu-t

IT **402592-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
RN 402592-44-3 CAPLUS
CN Pentanoic acid, 5-(2,6-difluorophenoxy)-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

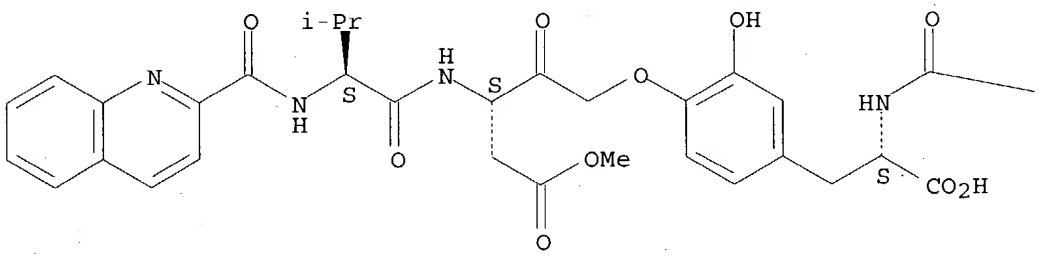
Absolute stereochemistry.



IT **402592-98-7 402593-01-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
RN 402592-98-7 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-3-hydroxy-O-[(3S)-5-methoxy-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2,5-dioxopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



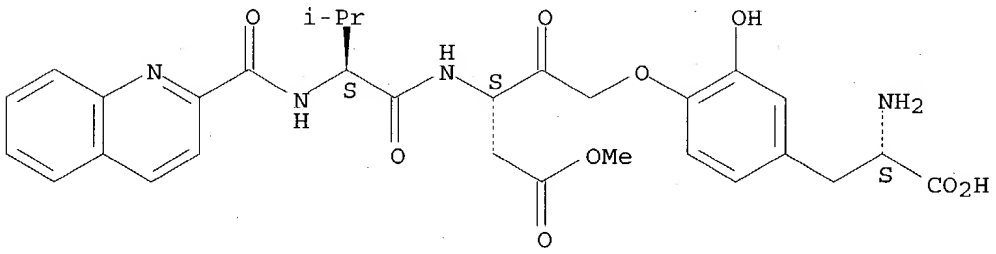
—OBu-t

RN 402593-01-5 CAPLUS
CN L-Tyrosine, 3-hydroxy-O-[(3S)-5-methoxy-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2,5-dioxopentyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

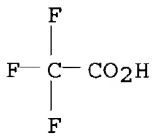
CRN 402593-00-4
CMF C30 H34 N4 O9

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
AN 2002:332671 CAPLUS
DN 136:341004
ED Entered STN: 03 May 2002
TI Preparation of quinolinecarbonyl(multiple amino acids)-leaving group compounds for pharmaceutical compositions and reagents
IN Wang, Jinhai
PA USA
SO U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U. S. Provisional Ser. No. 229,257.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K038-05

ICS C07D215-38
NCL 514019000
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7, 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002052323	A1	20020502	US 2001-870027	20010529
	WO 2002018341	A2	20020307	WO 2001-US26467	20010824
	WO 2002018341	A3	20020919		
	WO 2002018341	C2	20021121		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001088381	A5	20020313	AU 2001-88381	20010824
	EP 1322616	A2	20030702	EP 2001-968107	20010824
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-229257P	P	20000830		
	US 2001-870027	A2	20010529		
	WO 2001-US26467	W	20010824		

OS MARPAT 136:341004
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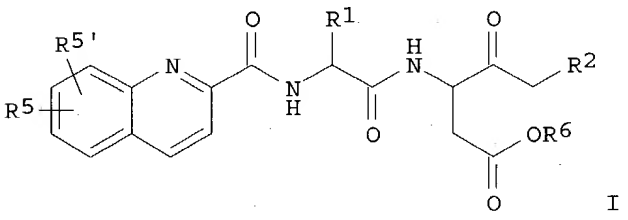


I

AB Quinolinecarbonyl peptide derivs. I [R1 = (un)substituted alkyl or aryl and is a side chain of a natural or unnatural amino acid (D- or L-configuration); R2 = F or phenoxy which may have substituents as defined for R5 and R5' (H, alkyl, alkoxy, fluoro, chloro, carboxy, alkyl- or arylcarbonyl, amino); R6 = alkyl, (un)substituted aryl, OC6H3(OH)[(CH2)nNH2]-2,4 (n = 1-4; the amino may protected or form a pharmaceutically-acceptable salt), or a 5-alkyl-, 5-aryl- or 5-alkylaryltetronic acid residue] were prepared These compds. are reagents and pharmaceutical compns. have pro-drug and apoptosis properties and are useful in a variety of therapies. 2-Quinolinecarbonyl-L-Val-L-Ala-L-Asp(OMe)CH2OC6H4F2-2,6 is among the compds. claimed. Figures which illustrate the inhibitory effect of the novel compds. on various caspases are given.

ST quinolinecarbonyl peptide prepn inhibitor caspase

IT Nervous system, disease
(Huntington's chorea; preparation of quinolinecarbonyl(multiple amino

acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Nervous system, disease
(amyotrophic lateral sclerosis; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Nervous system, disease
(degeneration; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Allergy
(hypersensitivity; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Heart, disease
(infarction; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Liver, disease
Reperfusion
(injury; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Kidney, disease
(ischemic; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Pancreas, disease
(pancreatitis; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT AIDS (disease)
Alopecia
Alzheimer's disease
Anti-infective agents
Anti-inflammatory agents
Antiarthritics
Autoimmune disease
Bone, disease
Encephalitis
Hepatitis
Human
Ischemia
Meningitis
Multiple sclerosis
Parkinson's disease
Respiratory tract, disease
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Drug delivery systems
(prodrugs; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Oviduct
(salpingitis; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Shock (circulatory collapse)
(septic; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
IT Brain, disease
(stroke; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Liver, disease
(toxin-induced; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT Brain, disease
(trauma; preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 122191-40-6, Caspase 1 169592-56-7, Caspase 3 179241-78-2, Caspase 8 180189-96-2, Caspase 9
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 402592-72-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 402592-45-4P **402592-46-5P** **402592-47-6P**
402592-48-7P **402592-53-4P** **402592-55-6P**
402592-56-7P 402592-58-9P 402592-60-3P **402592-70-5P**
402592-71-6P **402592-73-8P** **402592-74-9P**
402592-80-7P 402592-81-8P 402592-82-9P 402592-84-1P 402592-86-3P
402592-87-4P **402592-88-5P** 402592-89-6P 402592-91-0P
402592-92-1P 402593-80-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 161401-82-7 402592-93-2 402592-94-3 **402592-97-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 51-61-6, Dopamine, reactions 89-57-6 93-10-7, Quinaldic acid 608-07-1, 5-Methoxytryptamine 4423-79-4, 1,4-Dioxaspiro[4.5]decan-2-one 7477-44-3 13518-40-6 23786-14-3, Methyl 4-methoxyphenylacetate 28177-48-2, 2,6-Difluorophenol 34837-84-8, Methyl 4-fluorophenylacetate 37034-31-4 59768-74-0 100483-42-9 110680-30-3 135325-18-7 138550-45-5 183440-60-0 187389-52-2 187389-53-3 402592-64-7 402592-75-0 402592-77-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT 23408-05-1P 135321-95-8P 149267-81-2P 247045-71-2P 247045-72-3P 402592-42-1P **402592-49-8P** 402592-50-1P 402592-52-3P
402592-59-0P 402592-65-8P 402592-67-0P 402592-68-1P
402592-78-3P 402592-79-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

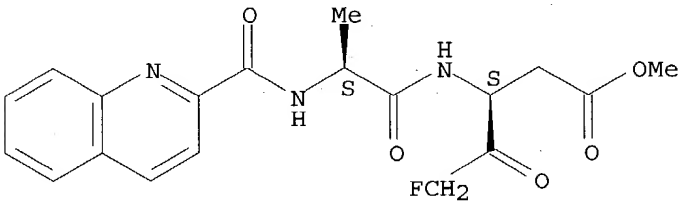
IT **402592-44-3P** 402592-57-8P 402592-62-5P 402592-63-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT **402592-98-7** 402592-99-8 **402593-01-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

IT **402592-46-5P 402592-47-6P 402592-48-7P**
402592-53-4P 402592-55-6P 402592-56-7P
402592-70-5P 402592-71-6P 402592-73-8P
402592-74-9P 402592-87-4P 402592-88-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)

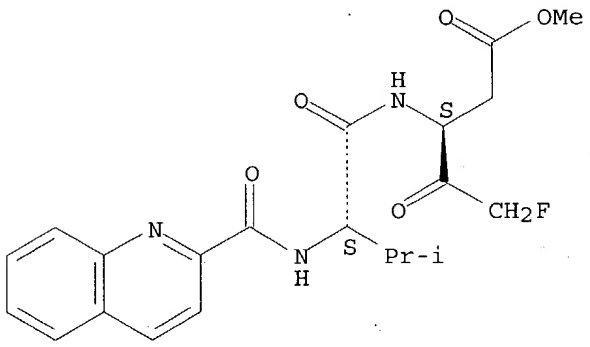
RN 402592-46-5 CAPLUS
CN Pentanoic acid, 5-fluoro-4-oxo-3-[[(2S)-1-oxo-2-[(2-quinolinylcarbonyl)amino]propyl]amino]-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



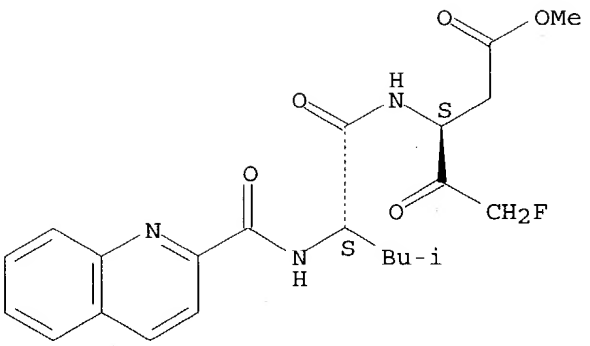
RN 402592-47-6 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



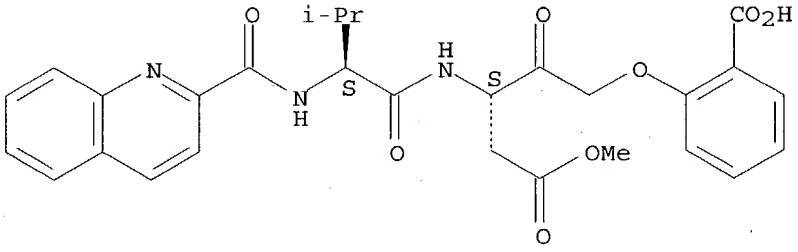
RN 402592-48-7 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-4-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]pentyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 402592-53-4 CAPLUS
CN Benzoic acid, 2-[(3S)-3-(2-methoxy-2-oxoethyl)-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2-oxopropoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

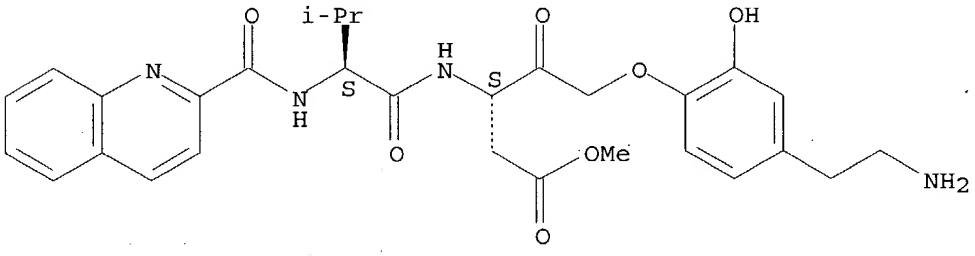


RN 402592-55-6 CAPLUS
CN Pentanoic acid, 5-[4-(2-aminoethyl)-2-hydroxyphenoxy]-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 402592-54-5
CMF C29 H34 N4 O7

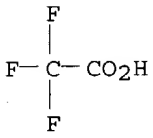
Absolute stereochemistry.



CM 2

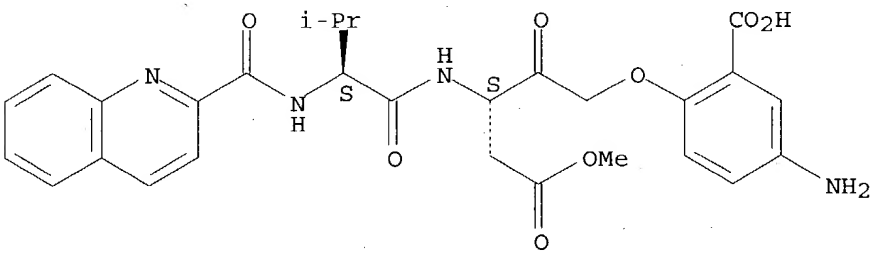
CRN 76-05-1

CMF C2 H F3 O2



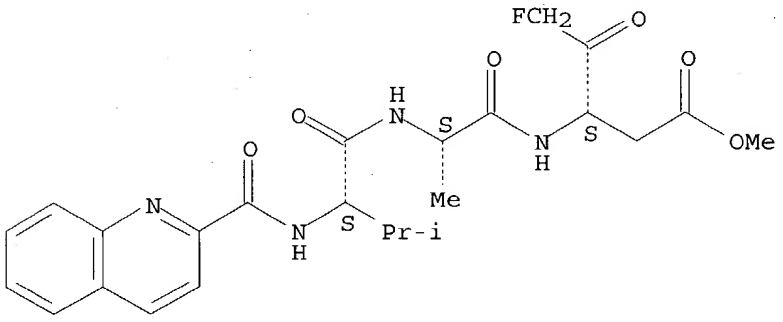
RN 402592-56-7 CAPLUS
CN Benzoic acid, 5-amino-2-[(3S)-3-(2-methoxy-2-oxoethyl)-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2-oxopropoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



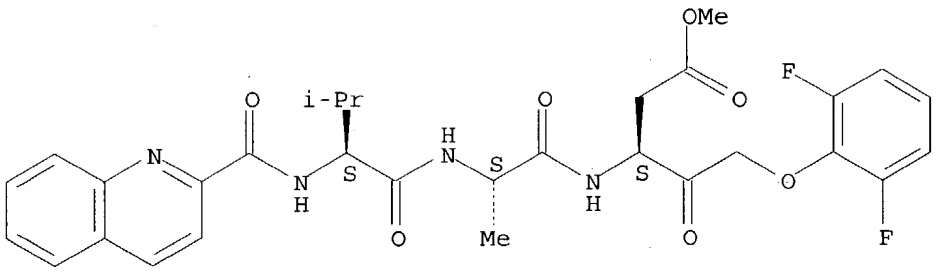
RN 402592-70-5 CAPLUS
CN L-Alaninamide, N-(2-quinolinylcarbonyl)-L-valyl-N-[(1S)-3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 402592-71-6 CAPLUS
CN L-Alaninamide, N-(2-quinolinylcarbonyl)-L-valyl-N-[(1S)-3-(2,6-difluorophenoxy)-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]- (9CI) (CA INDEX NAME)

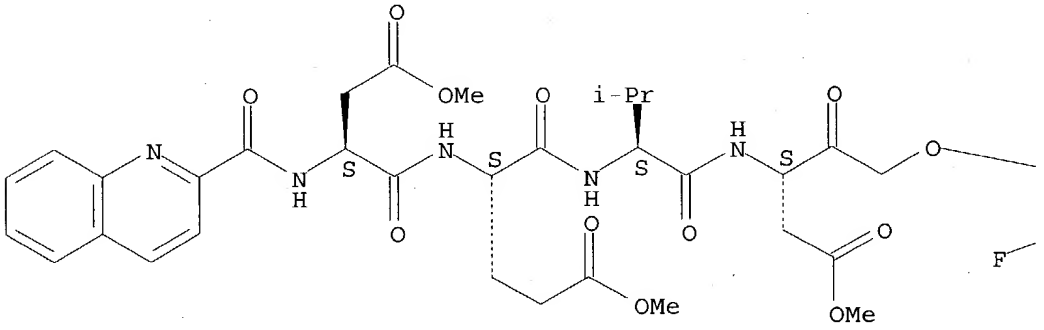
Absolute stereochemistry.



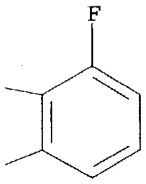
RN 402592-73-8 CAPLUS
CN L-Valinamide, N-(2-quinolinylcarbonyl)-L-α-aspartyl-L-α-glutamyl-N-[(1S)-3-(2,6-difluorophenoxy)-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

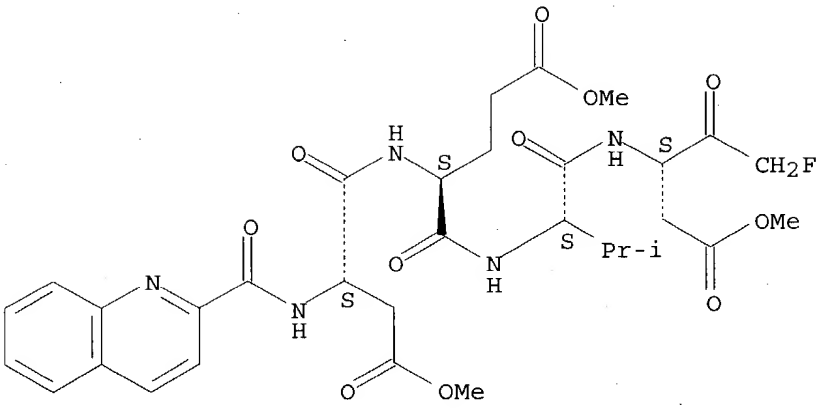


PAGE 1-B



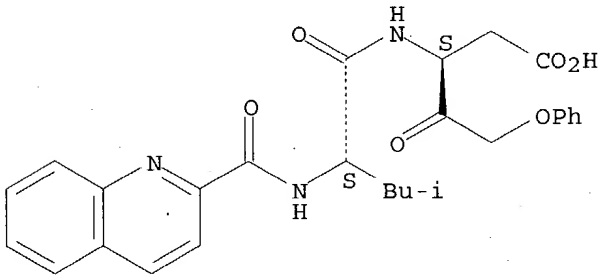
RN 402592-74-9 CAPLUS
CN L-Valinamide, N-(2-quinolinylcarbonyl)-L-α-aspartyl-L-α-glutamyl-N-[(1S)-3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



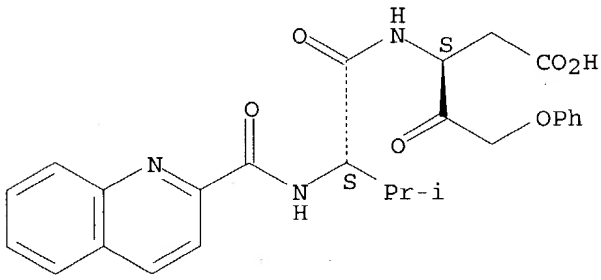
RN 402592-87-4 CAPLUS
CN Pentanoic acid, 3-[[(2S)-4-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]pentyl]amino]-4-oxo-5-phenoxy-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 402592-88-5 CAPLUS
CN Pentanoic acid, 3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-5-phenoxy-, (3S)- (9CI) (CA INDEX NAME)

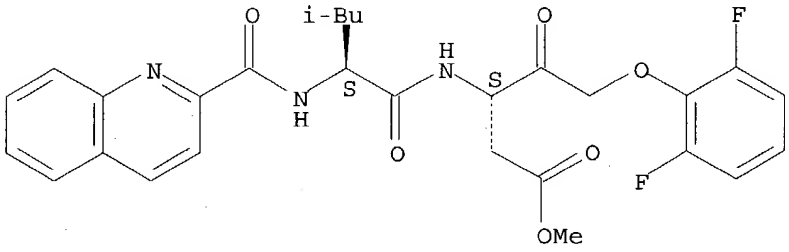
Absolute stereochemistry.



IT **402592-97-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group

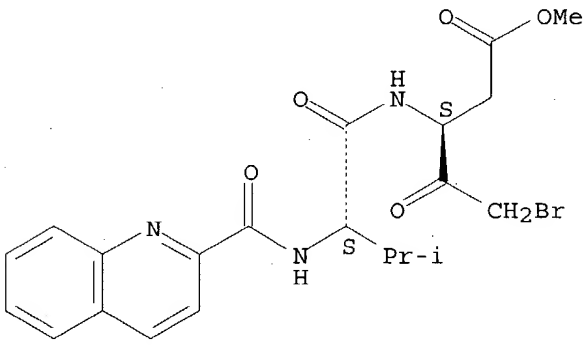
compds. for pharmaceutical compns. and reagents)
RN 402592-97-6 CAPLUS
CN Pentanoic acid, 5-(2,6-difluorophenoxy)-3-[[(2S)-4-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]pentyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **402592-49-8P 402592-78-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
RN 402592-49-8 CAPLUS
CN Pentanoic acid, 5-bromo-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

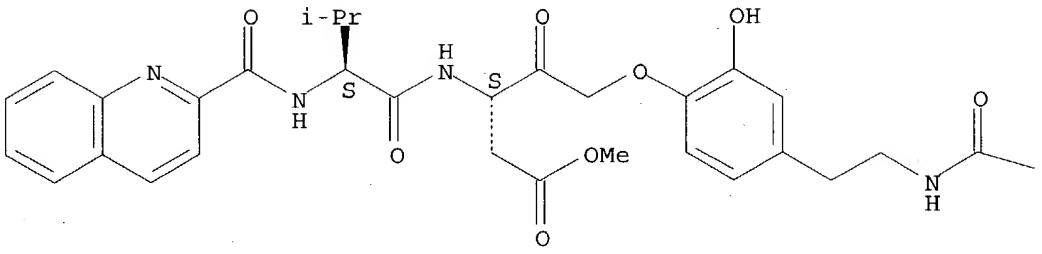
Absolute stereochemistry.



RN 402592-78-3 CAPLUS
CN Pentanoic acid, 5-[4-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-2-hydroxyphenoxy]-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

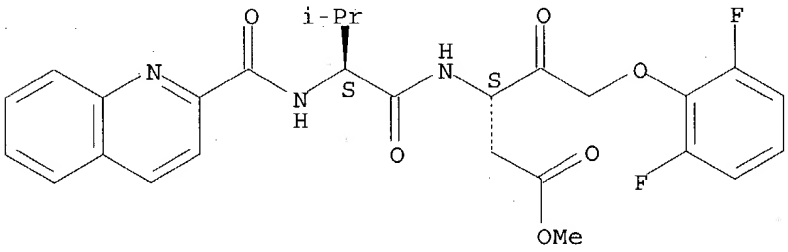


PAGE 1-B

OBu-t

IT **402592-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
RN 402592-44-3 CAPLUS
CN Pentanoic acid, 5-(2,6-difluorophenoxy)-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, methyl ester, (3S)- (9CI) (CA INDEX NAME)

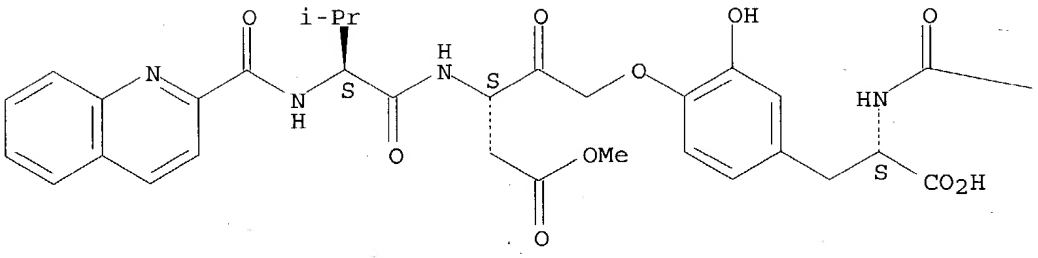
Absolute stereochemistry.



IT **402592-98-7 402593-01-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of quinolinecarbonyl(multiple amino acids)-leaving group compds. for pharmaceutical compns. and reagents)
RN 402592-98-7 CAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-3-hydroxy-O-[(3S)-5-methoxy-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2,5-dioxopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

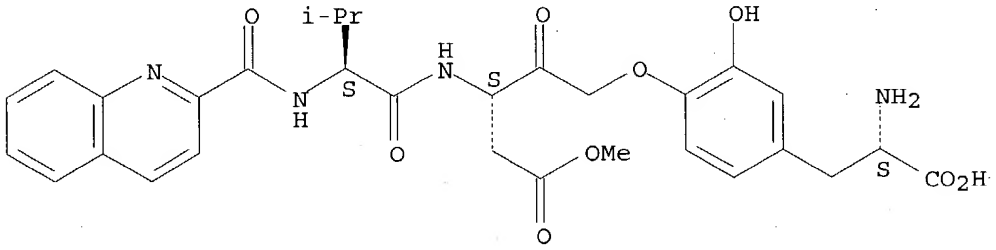
—OBu-t

RN 402593-01-5 CAPLUS
CN L-Tyrosine, 3-hydroxy-O-[(3S)-5-methoxy-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2,5-dioxopentyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

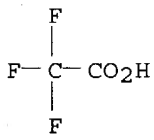
CRN 402593-00-4
CMF C30 H34 N4 O9

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS, MARPAT' - CONTINUE? (Y)/N:y

L16 ANSWER 3 OF 3 MARPAT COPYRIGHT 2004 ACS on STN
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 123:170196 MARPAT
TITLE: Preparation of peptide dimers with hemoregulatory activity useful for stimulating hematopoiesis and for treating viral, fungal, and bacterial infection.
INVENTOR(S): Bhatnagar, Pradip Kumar
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

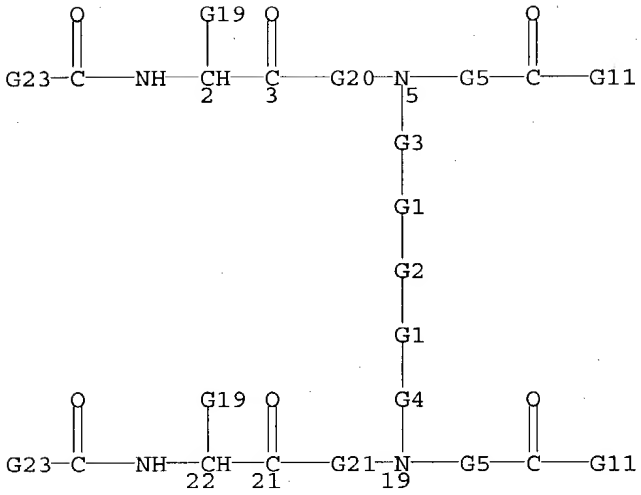
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511693	A1	19950504	WO 1994-US12421	19941028
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 725651	A1	19960814	EP 1994-932106	19941028
EP 725651	B1	19990811		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 09504301	T2	19970428	JP 1994-512865	19941028
US 5652219	A	19970729	US 1996-624616	19960412
PRIORITY APPLN. INFO.:			US 1993-145271	19931029
			US 1994-270864	19940705
			WO 1994-US12421	19941028

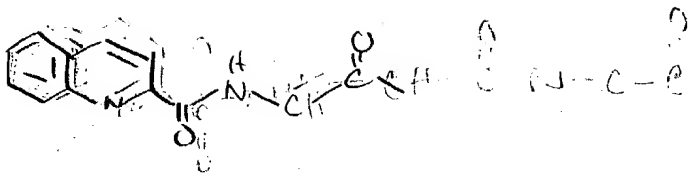
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

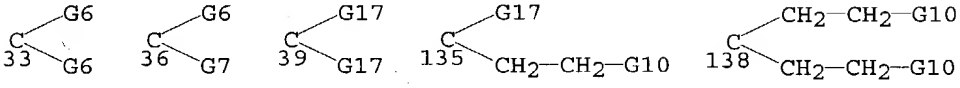
AB Title compds. [I; Y1, Y2 = CH2, S; x = 0-4; m, n = 0-2; A = pyroglutamyl, Pro, Gln, Tyr, Glu, 2-thiophenecarboxylate, picolinate, cyclohexanecarboxylate, pipecolinate, thiazolecarboxylate, pyridazinecarboxylate, nicotinate, pyrazolo[3,4-b]pyrrolecarboxylate, cinnolinecarboxylate, acridinecarboxylate, purinecarboxylate, etc.; B = Ser, Thr, Glu, Tyr, Cys, Asp; G = Glu, Tyr, Asp, Ser, Ala, Phe, His, Ile, Leu, Met, Thr, Trp, Nle, Gln, Asn, Val, Pro, Gly, Lys, β-Ala, Sar, allothreoninyl; F = Tyr, bond; R1, r2 = H, alkyl, alkenyl, alkynyl, (CH2)nAr, (CH2)xR3; R3 = OH, SH, NH2, CO2H, CONH2, NHC(:NH)NH2; Ar = Ph, pyridyl, naphthyl, thienyl, pyrrolyl, imidazolyl, indolyl, hydroxyphenyl; with provisos], were prepared as drugs (no data). Thus, Et bromoacetate was stirred with 1,4-diaminobutane and Et3N in CH2Cl2 for 2 h to give N,N'-bis(methylcarbonylethoxy)-1,4-diaminobutane. This was N-BOC protected, saponified, and coupled with H-Lys(Z)-OBzl.HCl to give intermediate (II), which was elaborated to title compound (III).

MSTR 1 ITERATION INCOMPLETE

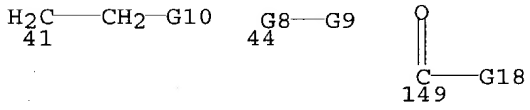




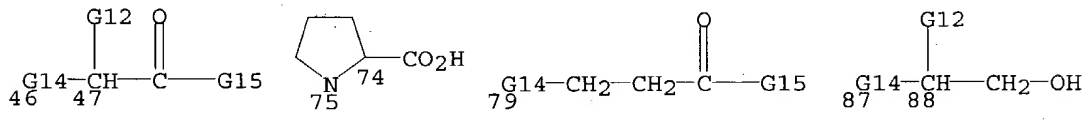
G1 = CH2 / S
G2 = (0-4) CH2
G3 = NULL / CH2CH2
G4 = NULL / CH2CH2
G5 = 33 / 36 / 39 / 135 / 138

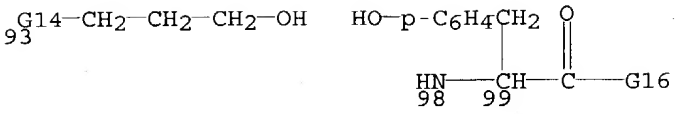


G6 = H / Ph (SO OH) / pyridyl / furyl / naphthyl / thienyl / pyrrolyl / imidazolyl / indolyl / SH / NH2 / NHC(NH)NH2
G7 = alkyl<(1-3)> / alkenyl<(2-4)> / alkynyl<(2-4)> / 41 / 44 / 149

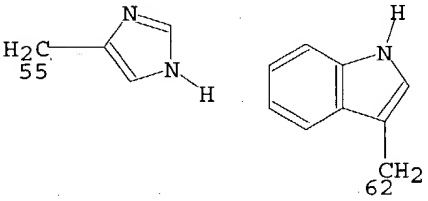


G8 = alkylene<EC (1-4) C, DC (0) M3>
G9 = OH / SH / NH2 / NHC(NH)NH2
G10 = Ph (SO OH) / pyridyl / furyl / naphthyl / thienyl / pyrrolyl / imidazolyl / indolyl
G11 = 46 / 75 / 79 / 87 / 93 / 98

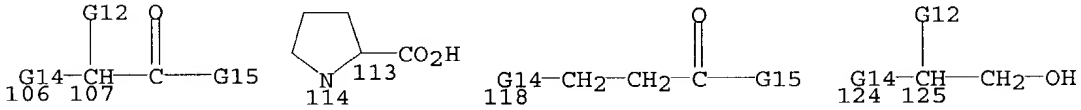


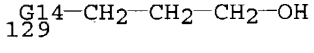


G12 = CH2CH2CH2CH2NH2 / CH2CH2CH2NHC(NH)NH2 / CH2C6H4OH-p / CH2CO2H / CH2CH2CH2NH2 / CH2OH / Me / CH2Ph / 55 / Bu-s / Bu-i / CH2CH2SMe / CH(OH)Me / 62 / Bu-n / CH2CH2CONH2 / CH2CONH2 / Pr-i / H / CH2CH2CH2CH2NH2

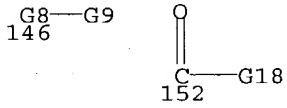


G14 = NH / NMe
G15 = OH / NH2
G16 = 106 / 114 / 118 / 124 / 129

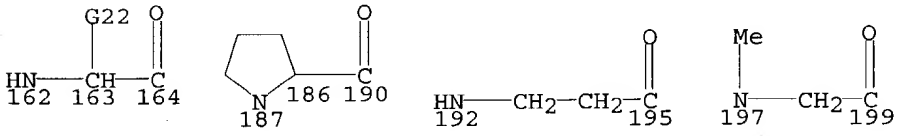




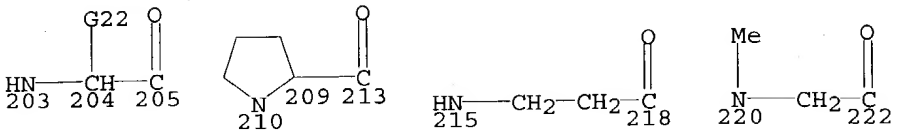
G17 = alkyl<(1-3)> / alkenyl<(2-4)> / alkynyl<(2-4)> / 146 / 152



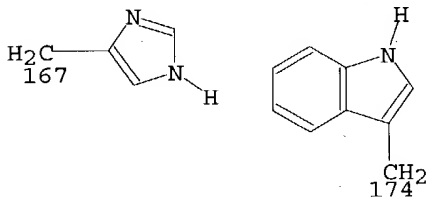
G18 = OH / NH2
G19 = CH2OH / CH(OH)Me / CH2CH2CO2H / CH2C6H4OH-p / CH2SH / CH2CO2H
G20 = 162-3 164-5 / 187-3 190-5 / 192-3 195-5 / 197-3 199-5



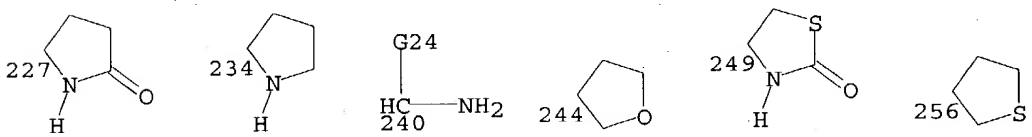
G21 = 203-21 205-19 / 210-21 213-19 / 215-21 218-19 / 220-21 222-19

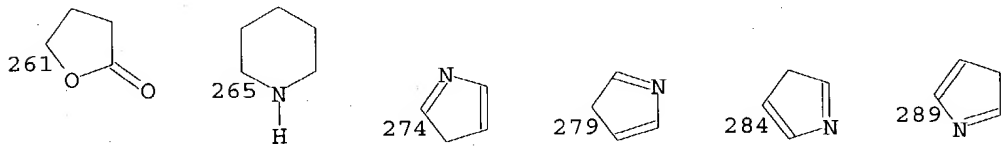


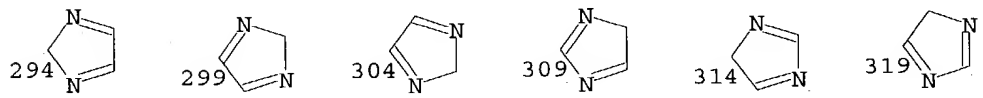
G22 = CH2CH2CO2H / CH2C6H4OH-p / CH2CO2H / CH2OH / Me / CH2Ph / 167 / Bu-s / Bu-i / CH2CH2SMe / CH(OH)Me / 174 / Bu-n / CH2CH2CONH2 / CH2CONH2 / Pr-i / H / CH2CH2CH2CH2NH2

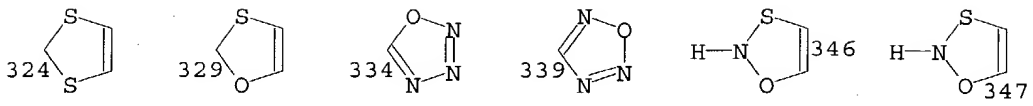


G23 = 227 / 234 / 240 / 2-thienyl / 2-pyridyl / cyclohexyl / 2-tetrahydrofuryl / 244 / 249 / cyclopentyl / 256 / 261 / 265 / pyrrolyl / 274 / 279 / 284 / 289 / pyrazolyl / 294 / 299 / 304 / 309 / 314 / 319 / triazolyl / 324 / 329 / 379 / 384 / 393 / isoxazolyl / oxazolyl / thiazolyl / isothiazolyl / oxadiazolyl / 334 / 339 / Hy<EC (2-3) Q (1) N (1) O (-1) S (3-4) C (0) OTHERQ, AR (0), BD (2) DE, RC (1), RS (1) E6> / 346 / 347 / 354 / 361 / 364 / 367 / 376 / 394 / 400 / 405 / 416 / 421 / 426 / 430 / 435 / 446 / pyrimidinyl / pyridyl / pyridazinyl / pyrazinyl / piperazino / 452 / triazinyl / morpholino / 460 / 466 / indolyl / 480 / 487 / 501 / 510 / 520 / 528 / 532 / quinolinyl / isoquinolinyl / 545 / 554 / 566 / 568 / 577 / 589 / 604 / 612 / 618 / 634 / 645 / 649 / 661 / 673 / 691 / 721 / 729





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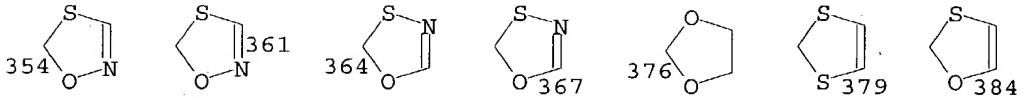
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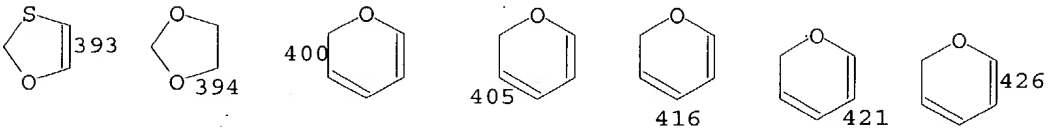
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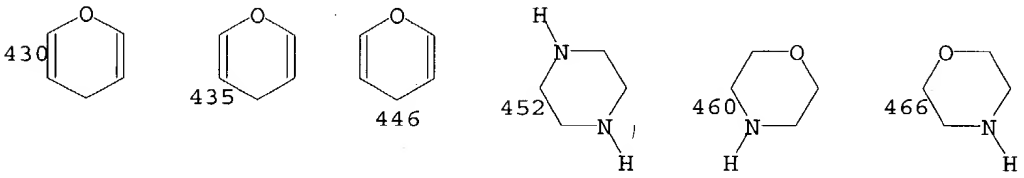
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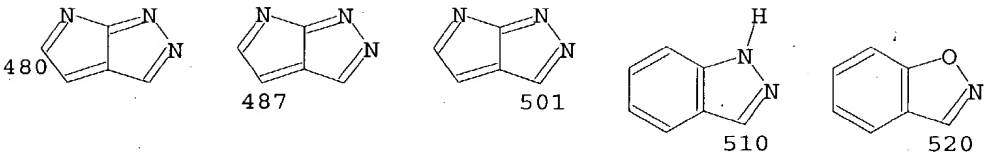
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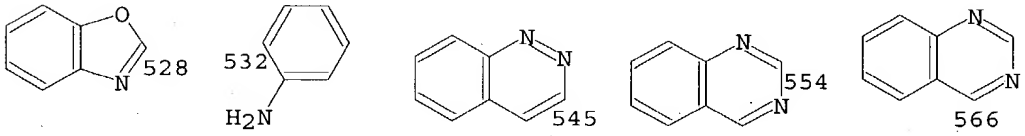
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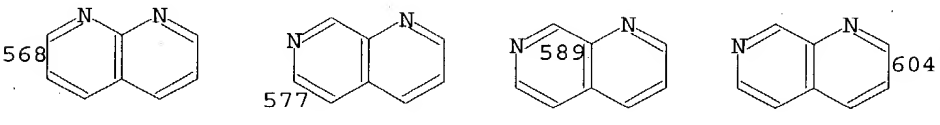
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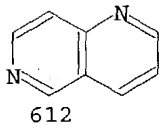

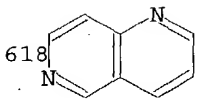

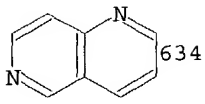

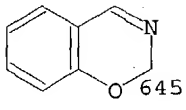

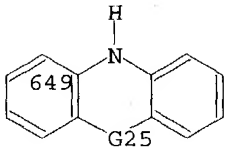

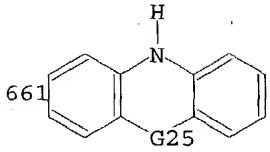

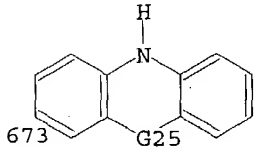

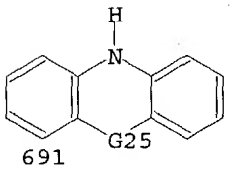

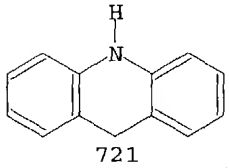

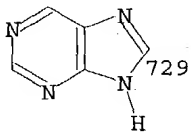

G24 = CH2CH2CONH2 / CH2C6H4OH-p / CH2CH2CO2H
G25 = NULL / CH2
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
STE: 2,22,47,74,88,99,107,113,125,163,186,204,209,227,234,244,249, 265-d,l

=> b home
FILE 'HOME' ENTERED AT 11:34:25 ON 09 FEB 2004

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